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(54) **Ectoparasite controlling agent for animals**

(57) An ectoparasite-controlling agent for animals comprising 0.1 to 20% by weight of the neonocotinoid compounds defined in the specification and 10 to 95% by weight of a glycol or glycol monoalkyl ether has an excellent effectiveness by the application methods such as spot-on and pour-on application.

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## Description

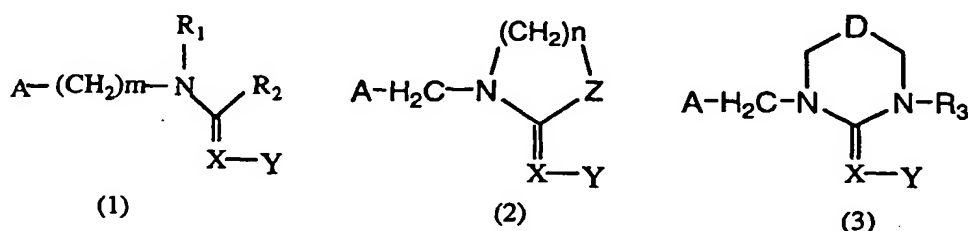
[0001] The present invention relates to ectoparasite controlling agents, and more specifically relates to an excellent ectoparasite controlling agent for animals which is used for regional application methods such as spot-on or pour-on application and a method for controlling ectoparasites of animals.

[0002] Heretofore, as an application method to control harmful pests that injure domestic animals such as pets and farm animals, particularly ectoparasites such as fleas (Pulicidae) and lice (Anoplura), methods of application recognized as spot-on and pour-on applications have been known, and because these methods of applications have been elementary, they are utilized in the concerning ectoparasite controlling.

[0003] However, it was not common to have the active ingredients contained in the ectoparasite controlling agents to be always sufficiently effective.

[0004] The present invention provides an ectoparasite controlling agent for animals having an ability to exert an excellent efficacy against ectoparasites from spot-on or pour-on applications, with the incorporation of a neonicotinoid compound and a glycol or a specific glycol derivative, as well as from the specialized mixing ratio of that incorporation.

[0005] More specifically, the present invention is an ectoparasite controlling agent for animals (hereinafter, the present agent(s)) which is a liquid formulation comprising 10 to 95% by weight of a glycol or glycol monoalkyl ether (e.g. C<sub>1-4</sub> alkyl ether) and 0.1 to 20% by weight of the neonicotinoid compound given in the following formula (1), (2) or (3) (hereinafter, the present compound(s)):



(wherein, A represents a 6-chloro-3-pyridyl, 2-chloro-5-thiazolyl, tetrahydrofuran-2-yl, tetrahydrofuran-3-yl, 5-methyltetrahydrofuran-3-yl, 3-pyridyl, 6-bromo-3-pyridyl, 3-cyanophenyl, 2-methyl-5-thiazolyl, 2-phenyl-5-thiazolyl or 2-bromo-5-thiazolyl group;

R<sub>1</sub> represents a hydrogen atom, methyl, ethyl, formyl or acetyl group;

R<sub>2</sub> represents a methyl, amino, methylamino, N,N-dimethylamino, ethylamino, N,N-diethylamino, N-methyl-N-ethylamino, 1-pyrrolidinyl, (6-chloro-3-pyridyl)methylamino or N-methyl-N-(6-chloro-3-pyridyl)methylamino group;

R<sub>3</sub> represents a methyl, ethyl, propyl, propenyl or propynyl group;

X represents a nitrogen atom or CH group;

Y represents a cyano, nitro or trifluoroacetyl group;

Z represents a NH group or sulfur atom;

D represents an oxygen atom or -N(CH<sub>3</sub>)- group;

m represents an integer of 0 or 1; and

n represents an integer of 2 or 3)

as well as, a method for controlling ectoparasites of animals.

[0006] The present compounds are known as active ingredients for pesticides, and described in, for example, US-A-5,532,365, US-A-4,742,060, US-A-4,849,432, US-A-5,034,404, US-A-5,750,548, US-A-5,304,566 and EP-A-0 428 941. The present compound is comprised from 0.1 to 20% by weight, preferably from 1 to 15 % by weight, and more preferably from 5 to 10% by weight in the present agent.

[0007] As the compound given in the formula (1), for example, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamide, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N<sup>1</sup>-methyl-2-nitro-1,1-ethylenediamine, 1-(6-chloro-3-pyridyl)methyl-3-methyl-2-cyanoguanidine, 1-(6-chloro-3-pyridyl)methyl-1,3-dimethyl-2-cyanoguanidine, 1-(6-chloro-3-pyridyl)methyl-1-ethyl-3-methyl-2-cyanoguanidine, 1-(6-chloro-3-pyridyl)methyl-1,3-dimethyl-3-(6-chloro-3-pyridyl)methyl-2-cyanoguanidine, 1-(6-chloro-3-pyridyl)methyl-3-methyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-3,3-dimethyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-1-methyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-1,3-

dimethyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-3-ethyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-3-(6-chloro-3-pyridyl)methyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-3-methyl-2-trifluoroacetylguanidine, 1-(6-chloro-3-pyridyl)methyl-1-ethyl-3-methyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-1,3,3-trimethyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-1-ethyl-2-nitroguanidine, 1-(3-pyridyl)methyl-3-methyl-2-nitroguanidine, 1-(6-bromo-3-pyridyl)methyl-3-methyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-3-methyl-2-nitroguanidine, 1-(3-cyanophenyl)-3-methyl-2-nitroguanidine, 1-(4-chlorophenyl)methyl-3-methyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-3,3-dimethyl-1-acetyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)-3-methyl-2-cyanoguanidine, 1-(2-chloro-5-thiazolyl)methyl-3,3-dimethyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-1-ethyl-3-methyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-1-acetyl-3,3-dimethyl-2-nitroguanidine, 1-(6-chloro-3-pyridyl)methyl-1-ethyl-2-trifluoroacetylguanidine, 1-(2-chloro-5-thiazolyl)methyl-1,3-dimethyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-1-methyl-2-nitroguanidine, 1-(5-thiazolyl)methyl-3-methyl-2-nitroguanidine, 1-(2-methyl-5-thiazolyl)methyl-3,3-dimethyl-2-nitroguanidine, 1-(2-methyl-5-thiazolyl)methyl-3-methyl-2-nitroguanidine, 1-(2-phenyl-5-thiazolyl)methyl-3-methyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-3,3-diethyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-3-methyl-3-ethyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-3-(1-pyrrolidinyl)-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-1,3,3-trimethyl-2-nitroguanidine, 1-(2-bromo-5-thiazolyl)methyl-3-methyl-2-nitroguanidine, 1-(2-bromo-5-thiazolyl)methyl-3,3-dimethyl-2-nitroguanidine, 1-(2-chloro-5-thiazolyl)methyl-3-methyl-2-cyanoguanidine, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine or 1-(tetrahydrofuran-2-yl)methyl-3-methyl-2-nitroguanidine is suitable.

[0008] As the compound given in the formula (2), for example, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidine-imine or 1-[(6-chloro-3-pyridyl)methyl]-N-nitrotetrahydropyrimidine-2-imine is suitable.

[0009] As the compound given in the formula (3), for example, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine, 3,5-dimethyl-1-[(6-chloro-3-pyridyl)methyl]-N-nitrohexahydro-1,3,5-triazine-2-imine, 3,5-dimethyl-1-[(2-chloro-5-thiazolyl)methyl]-2-nitroiminohexahydro-1,3,5-triazine, 3-ethyl-5-methyl-1-[(6-chloro-3-pyridyl)methyl]-N-nitrohexahydro-1,3,5-triazine-2-imine, 3-n-propyl-5-methyl-1-[(6-chloro-3-pyridyl)methyl]-N-nitrohexahydro-1,3,5-triazine-2-imine, 3-n-propyl-5-methyl-1-[(2-chloro-5-thiazolyl)methyl]-N-nitrohexahydro-1,3,5-triazine-2-imine, 3-(2-propenyl)-5-methyl-1-[(6-chloro-3-pyridyl)methyl]-N-nitrohexahydro-1,3,5-triazine-2-imine or 3-(2-propenyl)-5-methyl-1-[(6-chloro-3-pyridyl)methyl]-N-nitrohexahydro-1,3,5-triazine-2-imine is suitable.

[0010] As the glycol or glycol monoalkyl ether, for example, ethylene glycol, diethylene glycol, triethylene glycol, propylene glycol, dipropylene glycol, tripropylene glycol, hexamethylene glycol, 1,3-butanediol, 3-methyl-1,3-butanediol, 2-methyl-1,3-propanediol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, ethylene glycol monobutyl ether, diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, propylene glycol monomethyl ether, propylene glycol monoethyl ether, propylene glycol monotertiarybutyl ether, dipropylene glycol monomethyl ether or 3-methoxy-3-methyl-1-butanol is suitable. The present agent comprises the glycol from 10 to 95% by weight, preferably from 30 to 90% by weight, and more preferably from 50 to 80% by weight.

[0011] More specifically, for the present compound and the glycol in the present agent, the following are examples of suitable combinations:

(E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamide and ethylene glycol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and ethylene glycol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and ethylene glycol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and ethylene glycol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and ethylene glycol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamide and diethylene glycol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and diethylene glycol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and diethylene glycol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and diethylene glycol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and diethylene glycol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamide and triethylene glycol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and triethylene glycol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and triethylene glycol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and triethylene glycol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and triethylene glycol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamide and propylene glycol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and propylene glycol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and propylene glycol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and propylene glycol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and propylene glycol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamide and dipropylene glycol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and dipropylene glycol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and dipropylene glycol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and dipropylene glycol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and dipropylene glycol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamide and tripropylene glycol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and tripropylene glycol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and

tripropylene glycol, 3-[(6-chloro-3-pyridyl)methyl-N-cyano-2-thiazolidineimine and tripropylene glycol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and tripropylene glycol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and hexamethylene glycol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and hexamethylene glycol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and hexamethylene glycol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and hexamethylene glycol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and hexamethylene glycol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and 1,3-butanediol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and 1,3-butanediol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and 1,3-butanediol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and 1,3-butanediol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and 1,3-butanediol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and 3-methyl-1,3-butanediol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and 3-methyl-1,3-butanediol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and 3-methyl-1,3-butanediol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and 3-methyl-1,3-butanediol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and 3-methyl-1,3-butanediol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and 2-methyl-1,3-propanediol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and 2-methyl-1,3-propanediol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and 2-methyl-1,3-propanediol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and 2-methyl-1,3-propanediol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and 2-methyl-1,3-propanediol, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and ethylene glycol monomethyl ether, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and ethylene glycol monomethyl ether, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and ethylene glycol monomethyl ether, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and ethylene glycol monomethyl ether, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and ethylene glycol monomethyl ether, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and ethylene glycol monoethyl ether, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and ethylene glycol monoethyl ether, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and ethylene glycol monoethyl ether, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and ethylene glycol monoethyl ether, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and ethylene glycol monoethyl ether, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and ethylene glycol monobutyl ether, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and ethylene glycol monobutyl ether, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and ethylene glycol monobutyl ether, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and ethylene glycol monobutyl ether, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and ethylene glycol monobutyl ether, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and propylene glycol monomethyl ether, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and propylene glycol monomethyl ether, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and propylene glycol monomethyl ether, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and propylene glycol monomethyl ether, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and propylene glycol monomethyl ether, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and propylene glycol monotertiarybutyl ether, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and propylene glycol monotertiarybutyl ether, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and propylene glycol monotertiarybutyl ether, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and propylene glycol monotertiarybutyl ether, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and propylene glycol monotertiarybutyl ether, (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine and 3-methoxy-3-methyl-1-butanol, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylidenediamine and 3-methoxy-3-methyl-1-butanol, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine and 3-methoxy-3-methyl-1-butanol, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine and 3-methoxy-3-methyl-1-butanol, 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine and 3-methoxy-3-methyl-1-butanol and so on.

[0012] The present agent is a liquid formulation comprising 10 to 95% by weight of a glycol or glycol monoalkyl ether and 0.1 to 20% by weight of the present compound, and may comprise, optionally, for example, liquid carriers such as C<sub>1-4</sub> alcohols (e.g. methanol, ethanol, isopropyl alcohol, tert-butyl alcohol); propylene carbonate, N-methyl-2-pyrrolidone and water. Said liquid carrier is preferably the solvent that is miscible with a glycol or glycol monoalkyl ether and the amount of the solvent is usually 3 to 85% by weight or less, preferably 3 to 70% by weight or less in case that the solvent is utilized. The present agent may further comprise auxiliaries. Examples of the auxiliaries include anti-oxidants such as BHT and BHA; emulsifiers such as sorbitan monooleate, sorbitan monolaurate, caprylic acid monoglyceride,

capric acid monoglyceride, isostearic acid monoglyceride and propylene glycol monocaprylate; and oxyacid esters such as triethyl citrate.

[0013] The present agent may also comprise, optionally, active ingredients other than the present compound.

[0014] The active ingredients are, for example, pyrethroid compounds such as permethrin, phenothrin, allethrin, pyrethrin, cyphenothrin, cyfluthrin, fenvalerate, fenpropathrin and transfluthrin; organophosphorus compounds such as dichlorvos, tetrachlorvinphos, fenthion, chlorpyrifos and diazinon; carbamate compounds such as propoxur, carbaryl, metoxadiazon and fenobucarb; chitin-synthesis inhibiting substances such as lufenuron, chlorfluazuron, hexafluoruron, cyromazine and 1-(2,6-difluorobenzoyl)-3-[2-fluoro-4-(1,1,2,3,3,3-hexafluoropropoxy)phenyl]urea; juvenile hormone analogues such as methoprene, hydroprene and fenoxycarb; N-phenylpyrazole compounds; endoparasite controlling substances for animals such as milbemycin, abamectin and avermectin; pest repelling compounds such as N,N-diethyl-m-toluamide (DEET), limonene, linalool, citronellal, menthol, menthone, hinokitiol, geraniol, eucalyptol, indoxacarb, carane-3,4-diol, orange oil, citronella oil, lemon oil, lemongrass oil, cinnamon oil, peppermint oil, spearmint oil and hyssop oil; or synergists such as piperonyl butoxide (PBO), octachlorodipropyl ether (S-421), N-(2-ethylhexyl)bicyclo[2.2.1]hept-5-ene-2,3-dicarboximide, isobornyl thiocyanatoacetate (IBTA) and N-(2-ethylhexyl)-1-isopropyl-4-methylbicyclo[2.2.2]oct-5-ene-2,3-dicarboximide are suitable.

[0015] The present agent usually controls ectoparasites for animals efficiently by employing onto animals by regional application methods such as spot-on application and pour-on application.

[0016] The spot-on application is a well-known method for controlling ectoparasites by dropping a liquid agent onto a skin at the back of blade bone of animal body.

[0017] The pour-on application is also a well-known method for controlling ectoparasites by pouring a liquid agent along the back line of animal body and having the liquid agent spread on the surface of the animal body.

[0018] The dosage of the present agent is usually about 0.01 to 10mL and the dosage of the present compound is usually about 0.1 to 300mg per 1kg of animal weight.

[0019] For the present invention, and as the pests that are effectively controlled, suitable ectoparasites of farm animals such as cows and sheep, as well as pets such as dogs and cats, include, for example, Diptera such as *Musca hervei*, *Musca bezzii*, *Haematobia irritans*, *Simulium iwatens*, *Culicoides oxystoma*, *Tabanus chrysurus*, *Culex pipiens* (common mosquito) and *Aedes albopictus*; Anoplura (lice) such as *Haematopinus eurysternus* and *Damalinia ovis*; and Siphonaptera such as *Ctenocephalides felis* (cat flea), *Ctenocephalides canis* (dog flea) and *Xenopsylla cheopis*.

[0020] Furthermore, the objective animals that the present invention is employed upon, include the farm animals and pets mentioned above, and others, for example, Rodentia such as mice, rats, hamsters and squirrels; Lagomorpha such as rabbits; Carnivora such as ferrets; birds such as ducks, chickens, doves; and the like.

#### Examples

[0021] The present invention is explained with the examples in detail below.

#### Formulation example 1

[0022] Five parts by weight of 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine (hereinafter, Compound A), 50 parts by weight of hexamethylene glycol and 45 parts by weight of isopropanol are mixed to obtain a formulation.

#### Formulation example 2

[0023] Five parts by weight of Compound A, 50 parts by weight of propylene glycol monomethyl ether and 45 parts by weight of dipropylene glycol are mixed to obtain a formulation.

#### Formulation example 3

[0024] Five parts by weight of Compound A, 0.5 parts by weight of pyriproxyfen, 50 parts by weight of propylene glycol monomethyl ether and 44.5 parts by weight of isopropanol are mixed to obtain a formulation.

#### Formulation example 4

[0025] Five parts by weight of Compound A, 85 parts by weight of propylene glycol monomethyl ether and 10 parts by weight of d-limonene are mixed to obtain a formulation.

# EP 0 976 328 A2

## Test example 1

[0026] Formulations 1 through 7 were obtained by dissolving 5 parts by weight of Compound A into a previously prepared mixed solution of tripropylene glycol (hereinafter, TPG) and isopropanol (hereinafter, IPA) wherein the parts by weight of each preparation of 7 possibilities is 0:95, 10:85, 30:65, 50:45, 70:25, 80:15 and 95:0.

[0027] One fiftieths milliliters (0.02mL) was dropped onto the neck area epidermis of a mouse (weight: about 30g). Said mouse was hold and fastened with a metal net, and placed into a 900mL glass canister. Twenty adult cat fleas were deposited into said canister so the mouse is infested. One day after infestation, the mortality was examined. Each was repeated thrice. The results are given in table 1.

Table 1

Test #	Formulation	TPG/IPA (wt/wt)	Compound A/ TPG (wt/wt)	Mortality of Cat fleas (%)
1-1(Comparative)	1	0/95	5/0	38.3
1-2	2	10/85	5/10	55.0
1-3	3	30/65	5/30	75.0
1-4	4	50/45	5/50	80.0
1-5	5	70/25	5/70	93.3
1-6	6	80/15	5/80	75.0
1-7	7	95/0	5/95	60.0

## Test example 2

[0028] Ten parts by weight of Compound A was mixed with 90 parts by weight of diethylene glycol monoethyl ether and dissolved to afford a spot-on formulation.

[0029] Thirty cat flea adults were deposited on a cat (weight: 3.4kg) and an elizabethan collar was put on the neck of the cat the day before application of the above formulation. Two fiftihs milliliters (0.4mL) of the above spot-on formulation was spread onto the skin at the back of blade bone of the cat. Then, one day and three days after application, the number of infested fleas was counted by using a comb for gathering fleas. All the counted fleas were re-deposited on the cat after counting.

[0030] In addition, as a blank, cat fleas were deposited on a cat without application of the formulation by the same method as above, the number of the cat fleas was observed and controlling ratio was calculated by the following formula:

$$\text{Controlling ratio (\%)} = (C - T) \div C \times 100$$

C : Infesting ratio of fleas in the case without application

T : Infesting ratio of fleas in the case with application of the formulation

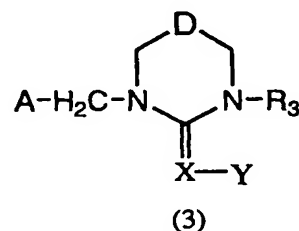
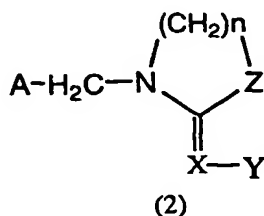
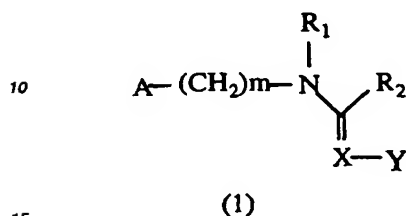
Each was repeated twice and the result are given in table 2.

Table 2

		One day after application	Three days after application
treated with spot-on formulation	Infesting ratio	3.3	1.7
	Controlling ratio	95.6	97.5
blank (no treatment)	Infesting ratio	75.0	66.7

## Claims

1. An ectoparasite-controlling agent for animals which is a liquid formulation comprising 0.1 to 20% by weight of the neonicotinoid compound given in the following formula (1), (2) or (3) and 10 to 95% of a glycol or glycol monoalkyl ether:



wherein, A represents a 6-chloro-3-pyridyl, 2-chloro-5-thiazolyl, tetrahydrofuran-2-yl, tetrahydrofuran-3-yl, 5-methyltetrahydrofuran-3-yl, 3-pyridyl, 6-bromo-3-pyridyl, 3-cyanophenyl, 2-methyl-5-thiazolyl, 2-phenyl-5-thiazolyl or 2-bromo-5-thiazolyl group; R<sub>1</sub> represents a hydrogen atom, methyl, ethyl, formyl or acetyl group; R<sub>2</sub> represents a methyl, amino, methylamino, N,N-dimethylamino, ethylamino, N,N-diethylamino, N-methyl-N-ethylamino, 1-pyrrolidyl, (6-chloro-3-pyridinyl)methylamino or N-methyl-N-(6-chloro-3-pyridinyl)methylamino group; R<sub>3</sub> represents a methyl, ethyl, propyl, propenyl or propynyl group; X represents a nitrogen atom or CH group; Y represents a cyano, nitro or trifluoroacetyl group; Z represents a NH group or sulfur atom; D represents an oxygen atom or -N(CH<sub>3</sub>)-group; m represents an integer of 0 or 1; and n represents an integer of 2 or 3.

2. An ectoparasite-controlling agent according to claim 1, wherein the glycol or glycol monoalkyl ether is at least one selected from ethylene glycol, diethylene glycol, triethylene glycol, propylene glycol, dipropylene glycol, tripropylene glycol, hexamethylene glycol, 1,3-butanediol, 3-methyl-1,2-butanediol, 2-methyl-1,3-propanediol, ethylene glycol monomethyl ether, ethylene glycol monoethyl ether, ethylene glycol monobutyl ether, diethylene glycol monomethyl ether, diethylene glycol monoethyl ether, propylene glycol monomethyl ether, propylene glycol monoethyl ether, propylene glycol monotertiarybutyl ether, dipropylene glycol monomethyl ether and 3-methoxy-3-methyl-1-butanol.
3. An ectoparasite-controlling agent according to claim 1 or 2, which further comprises a solvent that is miscible with the glycol or glycol monoalkyl ether.
4. An ectoparasite-controlling agent according to claim 1 or 2, which further comprises a solvent selected from C<sub>1-4</sub> alcohols, propylene carbonate, N-methyl-2-pyrrolidone and water.
5. An ectoparasite-controlling agent according to any of claims 1, wherein the neonicotinoid compound is the compound given in formula (1).
6. An ectoparasite-controlling agent according to claim 1, wherein the neonocotinoid compound is given in general formula (1) and the substituent A is a 6-chloro-3-pyridyl, 2-chloro-5-thiazolyl, tetrahydrofuran-2-yl or tetrahydrofuran-3-yl group.
7. An ectoparasite-controlling agent according to claim 1, wherein the neonocotinoid compound is (E)-N<sup>1</sup>-[(6-chloro-3-pyridyl)methyl]-N<sup>2</sup>-cyano-N<sup>1</sup>-methylacetamidine, N-[(6-chloro-3-pyridyl)methyl]-N-ethyl-N'-methyl-2-nitro-1,1-ethylenediamine, 1-(tetrahydrofuran-3-yl)methyl-3-methyl-2-nitroguanidine, 3-[(6-chloro-3-pyridyl)methyl]-N-cyano-2-thiazolidineimine or 3-[(2-chloro-5-thiazolyl)methyl]-5-methyl-4-nitroiminotetrahydro-1,3,5-oxadiazine.
8. An ectoparasite-controlling method for animals which comprises applying the ectoparasite-controlling agent of claim 1 to the body surface of the animals.
9. A use of the agent of claim 1 for ectoparasite-controlling of animals.

**EP 0 976 328 A2**

**10.** A use of the ectoparasite-controlling agent of claim 1 for spot-on or pour-on application for animals.

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**EP 0 976 328 A3**

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(54) **Ectoparasite controlling agent for animals**

(57) An ectoparasite-controlling agent for animals comprising 0.1 to 20% by weight of the neonocotinoid compounds defined in the specification and 10 to 95% by weight of a glycol or glycol monoalkyl ether has an excellent effectiveness by the application methods such as spot-on and pour-on application.

**EP 0 976 328 A3**



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Application Number  
EP 99 11 4117

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The present search report has been drawn up for all claims			
Place of search MUNICH		Date of completion of the search 21 June 2000	Examiner Klaver, J
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# EUROPEAN SEARCH REPORT

Application Number  
EP 99 11 4117

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<p><b>CATEGORY OF CITED DOCUMENTS</b></p> <p>X : particularly relevant if taken alone Y : particularly relevant if combined with another document of the same category A : technological background O : non-written disclosure P : intermediate document</p> <p>T : theory or principle underlying the invention E : earlier patent document, but published on, or after the filing date D : document cited in the application L : document cited for other reasons &amp; : member of the same patent family, corresponding document</p>			

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